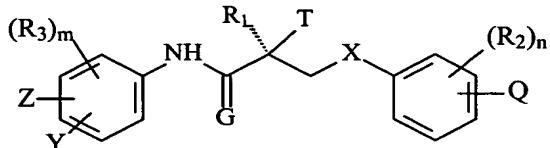


WHAT IS CLAIMED IS:

1. A selective androgen receptor modulator (SARM) compound represented by the structure of formula I:



I

X is a bond, O, CH₂, NH, S, Se, PR, NO or NR;

10 G is O or S;

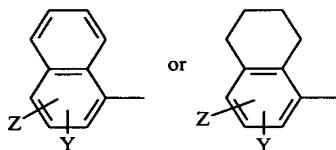
T is OH, OR, -NHCOCH₃, or NHCOR;

R is alkyl, haloalkyl, dihaloalkyl, trihaloalkyl, CH₂F, CHF₂, CF₃, CF₂CF₃, aryl, phenyl, halogen, alkenyl or OH;

15 R₁ is CH₃, CH₂F, CHF₂, CF₃, CH₂CH₃, or CF₂CF₃;

R₂ is F, Cl, Br, I, CH₃, CF₃, OH, CN, NO₂, NHCOCH₃, NHCOCF₃, NHCOR, alkyl, arylalkyl, OR, NH₂, NHR, NR₂, SR;

20 R₃ is F, Cl, Br, I, CN, NO₂, COR, COOH, CONHR, CF₃, SnR₃, or R₃ together with the benzene ring to which it is attached forms a fused ring system represented by the structure:



20

Z is NO₂, CN, COR, COOH, or CONHR;

Y is CF₃, F, Br, Cl, I, CN, or SnR₃;

Q is N₃ or NHCOCH₂Hal;

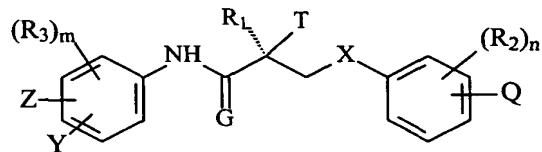
25 Hal is halogen;

n is an integer of 1-4; and

m is an integer of 1-3.

5

2. A selective androgen receptor modulator (SARM) compound represented by the structure of formula I:



10

X is a bond, O, CH₂, NH, S, Se, PR, NO or NR;

G is O or S;

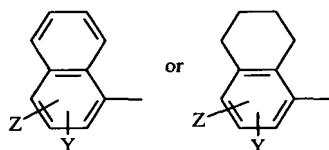
T is OH, OR, -NHCOCH₃, or NHCOR;

15 R is alkyl, haloalkyl, dihaloalkyl, trihaloalkyl, CH₂F, CHF₂, CF₃, CF₂CF₃, aryl, phenyl, halogen, alkenyl or OH;

R₁ is CH₃, CH₂F, CHF₂, CF₃, CH₂CH₃, or CF₂CF₃;

20 R₂ is F, Cl, Br, I, CH₃, CF₃, OH, CN, NO₂, NHCOCH₃, NHCOCF₃, NHCOR, alkyl, arylalkyl, OR, NH₂, NHR, NR₂, SR;

R₃ is F, Cl, Br, I, CN, NO₂, COR, COOH, CONHR, CF₃, SnR₃, or R₃ together with the benzene ring to which it is attached forms a fused ring system represented by the structure:



25 Z is NO₂, CN, COR, COOH, or CONHR;

Y is CF₃, F, Br, Cl, I, CN, or SnR₃;

Q is N₃ or NHCOCH₂Hal;

Hal is halogen;

n is an integer of 1-4; and

m is an integer of 1-3;

or its analog, isomer, metabolite, derivative, pharmaceutically acceptable salt, pharmaceutical product, N-oxide, hydrate or any combination thereof.

5

3. The compound according to claim 1,
wherein G is O.

4. The compound according to claim 1,
wherein T is OH.

10 5. The compound according to claim 1,
wherein R₁ is CH₃.

6. The compound according to claim 1,
wherein X is O.

15 7. The compound according to claim 1,
wherein Z is NO₂.

8. The compound according to claim 1,
wherein Z is CN.

9. The compound according to claim 1,
wherein Y is CF₃.

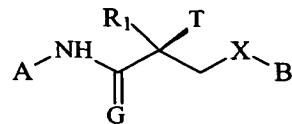
20 10. The compound according to claim 1,
wherein Q is NHCOCH₂Cl.

11. The compound according to claim 1,
wherein Q is NHCOCH₂Cl.

25 12. The compound according to claim 1,
wherein Q is N₃.

13. The compound according to claim 1,
wherein said compound is an alkylating agent.

30 14. A selective androgen receptor modulator
(SARM) compound represented by the structure of
formula II:



II

wherein X is a bond, O, CH₂, NH, S, Se, PR, NO or NR;

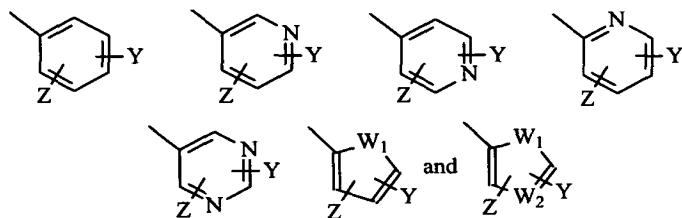
G is O or S;

5 R₁ is CH₃, CH₂F, CHF₂, CF₃, CH₂CH₃, or CF₂CF₃;

T is OH, OR, -NHCOCH₃, or NHCOR;

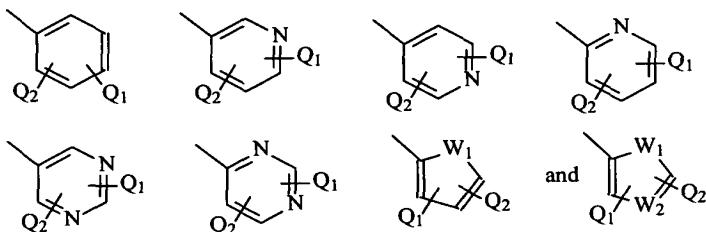
R is alkyl, haloalkyl, dihaloalkyl, trihaloalkyl, CH₂F, CHF₂, CF₃, CF₂CF₃, aryl, phenyl, halogen, alkenyl or OH;

A is a ring selected from:



10

B is a ring selected from:



15

wherein A and B cannot simultaneously be a benzene ring;

Z is NO₂, CN, COOH, COR, NHCOR or CONHR;

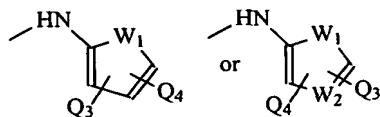
Y is CF₃, F, I, Br, Cl, CN CR₃ or SnR₃;

Q₁ is N₃ or NHCOCH₂Hal;

Hal is halogen;

20

Q₂ is a hydrogen, alkyl, halogen, CF₃, CN CR₃, SnR₃, NR₂, NHCOCH₃, NHCOCF₃, NHCOR, NHCONHR, NHCOOR, OCONHR, CONHR, NHCSCH₃, NHCSCF₃, NHCSR NHSO₂CH₃, NHSO₂R, OR, COR, OCOR, OSO₂R, SO₂R, SR,



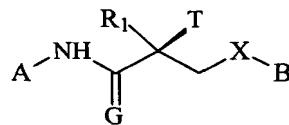
Q₃ and Q₄ are independently of each other a hydrogen, alkyl, halogen, CF₃, CN, CR₃, SnR₃, NR₂, NHCOCH₃, NHCOCF₃, NHCOR, NHCONHR, NHCOOR, OCONHR, CONHR, NHCSCH₃, NHCSCF₃, NHCSR, NHSO₂CH₃, NHSO₂R, OR, COR, OCOR, OSO₂R, SO₂R or SR;

5

W₁ is O, NH, NR, NO or S; and

W₂ is N or NO.

15. A selective androgen receptor modulator (SARM) compound represented by the structure of formula II:



15

wherein X is a bond, O, CH₂, NH, S, Se, PR, NO or NR;

G is O or S;

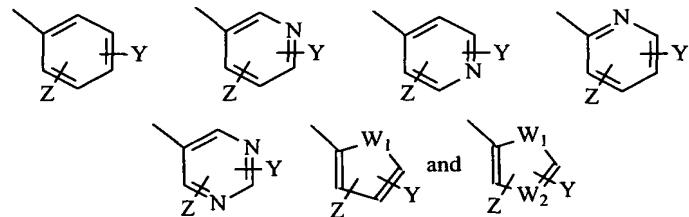
R₁ is CH₃, CH₂F, CHF₂, CF₃, CH₂CH₃, or CF₂CF₃;

T is OH, OR, -NHCOCH₃, or NHCOR;

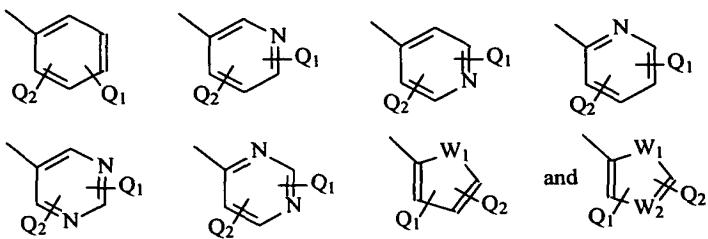
20

R is alkyl, haloalkyl, dihaloalkyl, trihaloalkyl, CH₂F, CHF₂, CF₃, CF₂CF₃, aryl, phenyl, halogen, alkenyl or OH;

A is a ring selected from:



B is a ring selected from:



wherein A and B cannot simultaneously be a benzene ring;

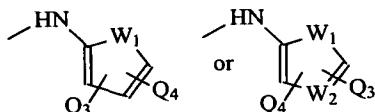
Z is NO_2 , CN, COOH, COR, NHCOR or CONHR;

Y is CF_3 , F, I, Br, Cl, CN CR₃ or SnR₃;

5 Q₁ is N_3 or $\text{NHCOCH}_2\text{Hal}$;

Hal is halogen;

10 Q₂ is a hydrogen, alkyl, halogen, CF_3 , CN CR₃, SnR₃, NR₂, NHCOCH_3 , NHCOCF_3 , NHCOR, NHCONHR, NHCOOR, OCONHR, CONHR, NHCSCH₃, NHCSCF₃, NHCSR NHSO₂CH₃, NHSO₂R, OR, COR, OCOR, OSO₂R, SO₂R, SR,



15 Q₃ and Q₄ are independently of each other a hydrogen, alkyl, halogen, CF_3 , CN CR₃, SnR₃, NR₂, NHCOCH_3 , NHCOCF_3 , NHCOR, NHCONHR, NHCOOR, OCONHR, CONHR, NHCSCH₃, NHCSCF₃, NHCSR NHSO₂CH₃, NHSO₂R, OR, COR, OCOR, OSO₂R, SO₂R or SR;

W₁ is O, NH, NR, NO or S; and

W₂ is N or NO;

or its analog, isomer, metabolite, derivative, pharmaceutically acceptable salt, pharmaceutical product, N-oxide, hydrate or any combination thereof.

20

16. The compound according to claim 14,

wherein G is O.

17. The compound according to claim 14,

wherein T is OH.

25

18. The compound according to claim 14,

wherein R₁ is CH₃.

19. The compound according to claim 14,
wherein X is O.

20. The compound according to claim 14,
wherein Z is NO₂.

5 21. The compound according to claim 14,
wherein Z is CN.

22. The compound according to claim 14,
wherein Y is CF₃.

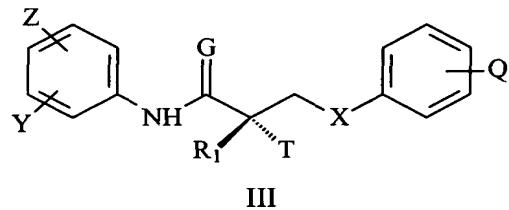
10 23. The compound according to claim 14,
wherein Q₁ is NHCOCH₂Cl.

24. The compound according to claim 14,
wherein Q₁ is NHCOCH₂Cl.

25. The compound according to claim 14,
wherein Q₁ is N₃.

15 26. The compound according to claim 14,
wherein said compound is an alkylating agent.

27. A selective androgen receptor modulator
(SARM) compound represented by the structure of
20 formula III:



wherein X is a bond, O, CH₂, NH, S, Se, PR, NO or NR;

G is O or S;

25 T is OH, OR, -NHCOCH₃, or NHCOR

Z is NO₂, CN, COOH, COR, NHCOR or CONHR;

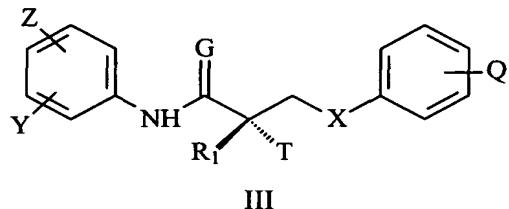
Y is CF₃, F, I, Br, Cl, CN, CR₃ or SnR₃;

Q is N₃ or NHCOCH₂Hal;

Hal is halogen;

R is alkyl, haloalkyl, dihaloalkyl, trihaloalkyl, CH₂F, CHF₂, CF₃, CF₂CF₃, aryl, phenyl, halogen, alkenyl or OH; and
 R₁ is CH₃, CH₂F, CHF₂, CF₃, CH₂CH₃, or CF₂CF₃.

5 28. A selective androgen receptor modulator (SARM) compound represented by the structure of formula III:



10 wherein X is a bond, O, CH₂, NH, S, Se, PR, NO or NR;
 G is O or S;
 T is OH, OR, -NHCOCH₃, or NHCOR
 Z is NO₂, CN, COOH, COR, NHCOR or CONHR;
 Y is CF₃, F, I, Br, Cl, CN, CR₃ or SnR₃;
 15 Q is N₃ or NHCOCH₂Hal;
 Hal is halogen;
 R is alkyl, haloalkyl, dihaloalkyl, trihaloalkyl, CH₂F, CHF₂, CF₃, CF₂CF₃, aryl, phenyl, halogen, alkenyl or OH; and
 R₁ is CH₃, CH₂F, CHF₂, CF₃, CH₂CH₃, or CF₂CF₃;
 20 or its analog, isomer, metabolite, derivative, pharmaceutically acceptable salt, pharmaceutical product, N-oxide, hydrate or any combination thereof.

29. The compound according to claim 27,
 wherein G is O.

25 30. The compound according to claim 27,
 wherein T is OH.

31. The compound according to claim 27,
 wherein R₁ is CH₃.

32. The compound according to claim 27,
 wherein X is O.

33. The compound according to claim 27,
wherein Z is NO₂.

34. The compound according to claim 27,
wherein Z is CN.

5 35. The compound according to claim 27,
wherein Y is CF₃.

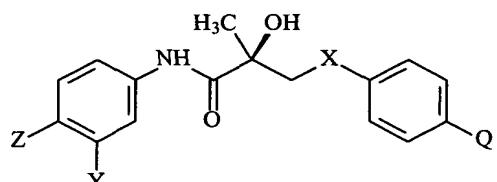
36. The compound according to claim 27,
wherein Q is NHCOCH₂Cl.

10 37. The compound according to claim 27,
wherein Q is NHCOCH₂Cl.

38. The compound according to claim 27,
wherein Q is N₃.

39. The compound according to claim 27,
wherein said compound is an alkylating agent.

15 40. The compound according to claim 27,
represented by the structure of formula IV:



IV

20

41. A composition comprising the selective androgen receptor modulator compound of claim 1, 14, 27 or 40 and/or its analog, derivative, isomer, metabolite, pharmaceutically acceptable salt, pharmaceutical product, hydrate or N-oxide or any combination thereof; and a suitable carrier or diluent.

25 42. A pharmaceutical composition comprising an effective amount of the selective androgen

receptor modulator compound of claim 1, 14, 27 or 40 and/or its analog, derivative, isomer, metabolite, pharmaceutically acceptable salt, pharmaceutical product, hydrate or N-oxide or any combination thereof; and a pharmaceutically acceptable carrier, diluent or salt.

5 43. A method of suppressing spermatogenesis in a subject comprising administering to said subject with the selective androgen receptor modulator compound of claim 1, 14, 27 or 40 and/or its analog, derivative, isomer, metabolite, pharmaceutically acceptable salt, pharmaceutical product, hydrate or N-oxide or any combination thereof, in an amount effective to suppress sperm production.

10 44. A method of contraception in a male subject, comprising the step of administering to said subject the selective androgen receptor modulator compound of claim 1, 14, 27 or 40 and/or its analog, derivative, isomer, metabolite, pharmaceutically acceptable salt, pharmaceutical product, hydrate or N-oxide or any combination thereof, in an amount effective to suppress sperm production in said subject, thereby effecting contraception in said subject.

15 45. A method of hormone therapy comprising the step of administering to said subject the selective androgen receptor modulator compound of claim 1, 14, 27 or 40 and/or its analog, derivative, isomer, metabolite, pharmaceutically acceptable salt, pharmaceutical product, hydrate or N-oxide or any combination thereof, in an amount effective to effect a change in an androgen-dependent condition.

46. A method of hormone replacement therapy comprising the step of administering to said subject the selective androgen receptor modulator compound of claim 1, 14, 27 or 40 and/or its analog, derivative, isomer, metabolite, pharmaceutically acceptable salt, pharmaceutical product, hydrate or N-oxide or any combination thereof, in an amount effective to effect a change in an androgen-dependent condition.

47. A method of preventing prostate cancer in a
10 subject, comprising the step of administering to said
subject the selective androgen receptor modulator
compound of claim 1, 14, 27 or 40 and/or its analog,
derivative, isomer, metabolite, pharmaceutically
acceptable salt, pharmaceutical product, hydrate or
15 N-oxide or any combination thereof, in an amount
effective to prevent prostate cancer in said subject.

48. A method of treating a subject having a
hormone related condition, comprising the step of
administering to said subject the selective androgen
receptor modulator compound of claim 1, 14, 27 or
20 40 and/or its analog, derivative, isomer, metabolite,
pharmaceutically acceptable salt, pharmaceutical
product, hydrate or N-oxide or any combination
thereof, in an amount effective to effect a change in
25 an androgen-dependent condition.

49. A method of treating a subject suffering from prostate cancer, comprising the step of administering to said subject the selective androgen receptor modulator compound of claim 1, 14, 27 or 40 and/or its analog, derivative, isomer, metabolite, pharmaceutically acceptable salt, pharmaceutical product, hydrate or N-oxide or any combination

thereof, in an amount effective to treat prostate cancer in said subject.

5 50. A method of delaying the progression of prostate cancer in a subject suffering from prostate cancer, comprising the step of administering to said subject the selective androgen receptor modulator compound of claim 1, 14, 27 or 40 and/or its analog, derivative, isomer, metabolite, pharmaceutically acceptable salt, pharmaceutical product, hydrate or N-oxide or any combination thereof, in an amount effective to delay the progression of prostate cancer in said subject.

10 15 51. A method of preventing the recurrence of prostate cancer in a subject suffering from prostate cancer, comprising the step of administering to said subject the selective androgen receptor modulator compound of claim 1, 14, 27 or 40 and/or its analog, derivative, isomer, metabolite, pharmaceutically acceptable salt, pharmaceutical product, hydrate or N-oxide or any combination thereof, in an amount effective to prevent the recurrence of prostate cancer in said subject.

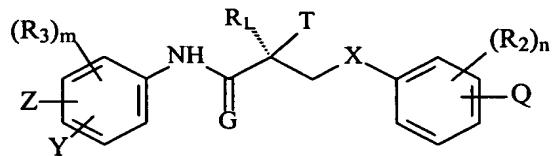
20 25 52. A method of treating the recurrence of prostate cancer in a subject suffering from prostate cancer, comprising the step of administering to said subject the selective androgen receptor modulator compound of claim 1, 14, 27 or 40 and/or its analog, derivative, isomer, metabolite, pharmaceutically acceptable salt, pharmaceutical product, hydrate or N-oxide or any combination thereof, in an amount effective to treat the recurrence of prostate cancer in said subject.

53. A method of treating a dry eye condition in a subject suffering from dry eyes, comprising the step of administering to said subject the selective androgen receptor modulator compound of claim 1, 14, 27 or 40 and/or its analog, derivative, isomer, metabolite, pharmaceutically acceptable salt, pharmaceutical product, hydrate or N-oxide or any combination thereof, in an amount effective to treat dry eyes in the subject.

10 54. A method of preventing a dry eye condition in a subject, comprising the step of administering to said subject the selective androgen receptor modulator compound of claim 1, 14, 27 or 40 and/or its analog, derivative, isomer, metabolite, pharmaceutically acceptable salt, pharmaceutical product, hydrate or N-oxide or any combination thereof, in an amount effective to prevent dry eyes in the subject.

20 55. A method of inducing apoptosis in a prostate cancer cell, comprising the step of contacting said cell with the selective androgen receptor modulator compound of claim 1, 14, 27 or 40 and/or its analog, derivative, isomer, metabolite, pharmaceutically acceptable salt, pharmaceutical product, hydrate or N-oxide or any combination thereof, in an amount effective to induce apoptosis in said cancer cell.

30 56. A process for preparing a selective androgen receptor modulator (SARM) compound represented by the structure of formula I:



I

wherein X is a O, NH, S, Se, PR, or NR;

5 G is O or S;

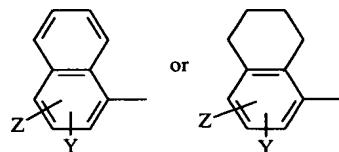
T is OH, OR, -NHCOCH₃, or NHCOR;

R is alkyl, haloalkyl, dihaloalkyl, trihaloalkyl, CH₂F, CHF₂, CF₃, CF₂CF₃, aryl, phenyl, halogen, alkenyl or OH;

R₁ is CH₃, CH₂F, CHF₂, CF₃, CH₂CH₃, or CF₂CF₃;

10 R₂ is F, Cl, Br, I, CH₃, CF₃, OH, CN, NO₂, NHCOCH₃, NHCOCF₃, NHCOR, alkyl, arylalkyl, OR, NH₂, NHR, NR₂, SR;

R₃ is F, Cl, Br, I, CN, NO₂, COR, COOH, CONHR, CF₃, SnR₃, or R₃ together with the benzene ring to which it is attached forms a fused ring system represented by the structure:



15

Z is NO₂, CN, COR, COOH, or CONHR;

Y is CF₃, F, Br, Cl, I, CN, or SnR₃;

Q is N₃ or NHCOCH₂Hal;

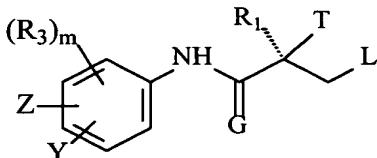
Hal is halogen;

20

n is an integer of 1-4; and

m is an integer of 1-3;

said process comprising the step of coupling a compound of formula VIII:

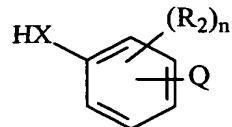


25

VIII

wherein Z, Y, G, R₁, T, R₃ and m are as defined above and L is a leaving group,

with a compound of formula IX:



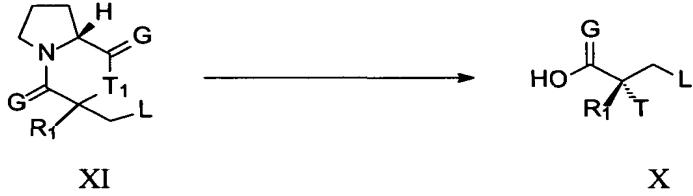
5

IX

wherein Q, X R₂ and n are as defined above.

57. The process according to claim 56, wherein
10 the compound of formula VIII is prepared by

a. preparing a compound of
formula X by ring opening of a
cyclic compound of formula XI



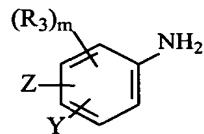
15

XI

X

wherein L, R₁, G and T are as defined above, and T₁ is O or NH; and

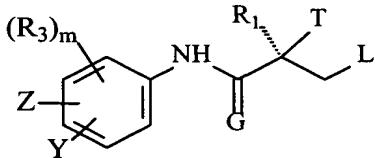
b. reacting an amine of formula
XII:



20

XII

wherein Z, Y, R₃ and m are as defined above, with the compound of formula X, in the presence of a coupling reagent, to produce the compound of formula VIII.

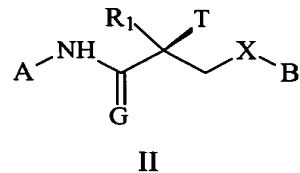


VIII

5 58. The process according to claim 56, further comprising the step of purifying said compound of formula I using a mixture of ethanol and water.

10 59. The process according to claim 56, further comprising the step of converting said selective androgen receptor modulator (SARM) compound to its analog, isomer, metabolite, derivative, pharmaceutically acceptable salt, pharmaceutical product, N-oxide, hydrate or any combination thereof.

15 60. A process for preparing a selective androgen receptor modulator (SARM) compound represented by the structure of formula II:



20 wherein X is O, NH, S, Se, PR, or NR;

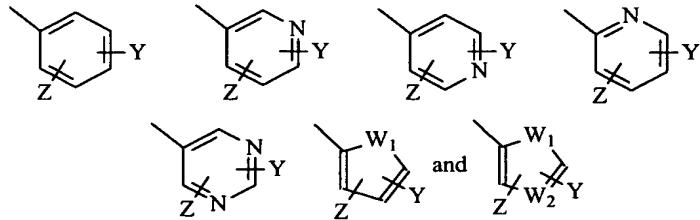
25 G is O or S;

R₁ is CH₃, CH₂F, CHF₂, CF₃, CH₂CH₃, or CF₂CF₃;

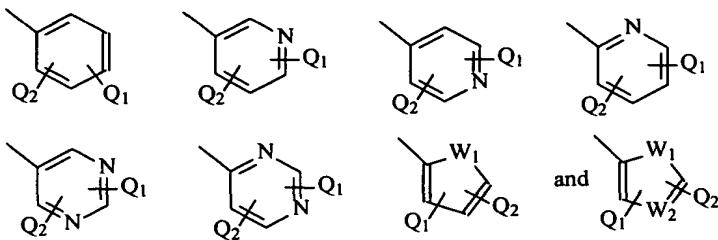
T is OH, OR, -NHCOCH₃, or NHCOR;

R is alkyl, haloalkyl, dihaloalkyl, trihaloalkyl, CH₂F, CHF₂, CF₃, CF₂CF₃, aryl, phenyl, halogen, alkenyl or OH;

25 A is a ring selected from:



B is a ring selected from:



wherein A and B cannot simultaneously be a benzene ring;

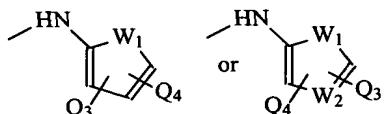
Z is NO_2 , CN, COOH, COR, NHCOR or CONHR;

Y is CF_3 , F, I, Br, Cl, CN CR₃ or SnR₃;

5 Q₁ is N_3 or $\text{NHCOCH}_2\text{Hal}$;

Hal is halogen;

10 Q₂ is a hydrogen, alkyl, halogen, CF_3 , CN CR₃, SnR₃, NR₂, NHCOCH_3 , NHCOCF_3 , NHCOR, NHCONHR, NHCOOR, OCONHR, CONHR, NHCSCH₃, NHCSCF₃, NHCSR NHSO₂CH₃, NHSO₂R, OR, COR, OCOR, OSO₂R, SO₂R, SR,

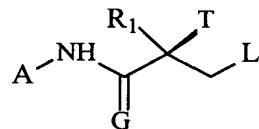


15 Q₃ and Q₄ are independently of each other a hydrogen, alkyl, halogen, CF_3 , CN CR₃, SnR₃, NR₂, NHCOCH_3 , NHCOCF_3 , NHCOR, NHCONHR, NHCOOR, OCONHR, CONHR, NHCSCH₃, NHCSCF₃, NHCSR NHSO₂CH₃, NHSO₂R, OR, COR, OCOR, OSO₂R, SO₂R or SR;

W₁ is O, NH, NR, NO or S; and

W₂ is N or NO;

said process comprising the step of coupling a compound of formula XIII:



20 XIII

wherein A, G, R₁ and T are as defined above and L is a leaving group,

with a compound of formula HX-B wherein B and X are as defined above.

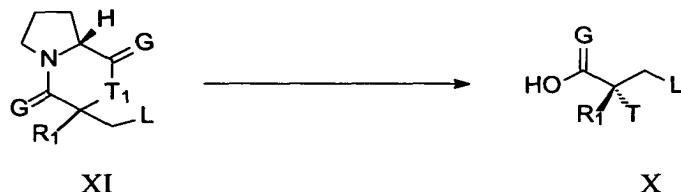
61. The process according to claim 60, wherein the amide of formula XIII is prepared by

5

10

a.
preparing a
compound
formula X by
ring opening
of a cyclic
compound

of formula XI



15

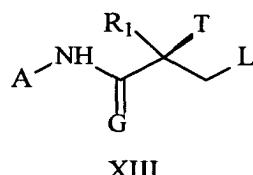
20

wherein L, R₁, G and T are as defined above, and T₁ is O or NH; and

b. reacting an amine of formula A-NH₂ wherein A is as defined above, with

the compound of formula X in the presence of a coupling reagent, to produce the amide of formula XIII.

25

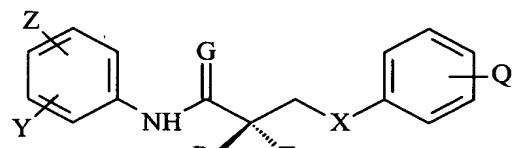


62. The process according to claim 60, further comprising the step of purifying said compound of formula II using a mixture of ethanol and water

5 63. The process according to claim 60, further comprising the step of converting said selective androgen receptor modulator (SARM) compound to its analog, isomer, metabolite, derivative, pharmaceutically acceptable salt, pharmaceutical product, N-oxide, hydrate or any combination thereof.

10

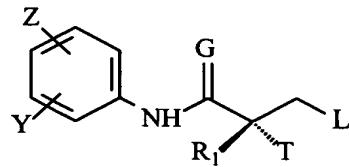
64. A process for preparing a selective androgen receptor modulator (SARM) compound represented by the structure of formula III:



20 wherein X is O, NH, S, Se, PR or NR;
 G is O or S;
 T is OH, OR, -NHCOCH₃, or NHCOR
 Z is NO₂, CN, COOH, COR, NHCOR or CONHR;
 Y is CF₃, F, I, Br, Cl, CN, CR₃ or SnR₃;

25 Q₁ is N₃ or NHCOCH₂Hal;
 Hal is halogen;
 R is alkyl, haloalkyl, dihaloalkyl, trihaloalkyl, CH₂F, CHF₂, CF₃,
 CF₂CF₃, aryl, phenyl, halogen, alkenyl or OH; and
 R₁ is CH₃, CH₂F, CHF₂, CF₃, CH₂CH₃, or CF₂CF₃;

said process comprising the step of coupling a compound of formula XIV:

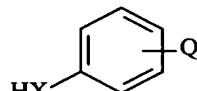


XIV

wherein Z, Y, G R₁ and T are as defined above and L is a leaving group,

5

with a compound of formula XV:



xv

wherein O and X are as defined above.

10

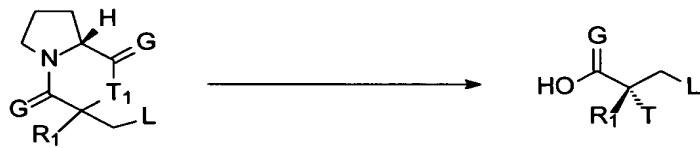
65. The process according to claim 64, wherein the compound of formula XIV is prepared by

a.

preparing a compound formula X by ring opening of a cyclic compound

20

of formula XI



XI

X

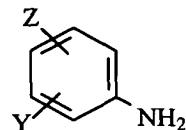
wherein L, R₁, and T are as defined above, G is O and T₁ is O or NH; and

25

b. reacting an amine

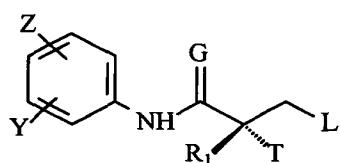
of formula

XVI



XVI

5 with the compound of formula X in the presence of a coupling reagent, to produce the compound of formula XIV.



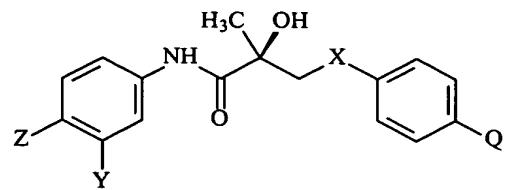
10

XIV

15 66. The process according to claim 64, further comprising the step of purifying said compound of formula III using a mixture of ethanol and water

20 67. The process according to claim 64, further comprising the step of converting said selective androgen receptor modulator (SARM) compound to its analog, isomer, metabolite, derivative, pharmaceutically acceptable salt, pharmaceutical product, N-oxide, hydrate or any combination thereof.

25 68. The process according to claim 64, wherein said SARM is represented by the structure of formula IV:



IV